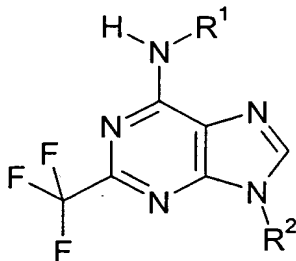


What Is Claimed is:

1. A compound of Formula I:



wherein,

R¹ is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

R² is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each case by -CH=CH- or -C≡C-,

alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

5 cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

Sub
B¹
10 aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations
15 thereof,

20 arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations
thereof,

25 heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-
30 alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

5

with the provisos that:

- (a) when R^1 is methyl, then R^2 is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;
- (b) when R^1 is cyclopropyl, R^2 is not 4-methylbenzyl;
- (c) when R^1 is ethyl, then R^2 is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
- (d) when R^1 is cyclopropyl, then R^2 is not cyclopropylmethyl;
- (e) when R^1 is H, then R^2 is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;
- (f) when R^1 is methoxyethyl, then R^2 is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;
- (g) when R^1 is iso-butyl, then R^2 is not benzyl; and
- (h) when R^1 is n-butyl, then R^2 is not n-butyl.

- 20 2. A compound according to claim 1, wherein when R^1 is methyl, R^2 is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl or C_{1-5} -alkyl.
- 25 3. A compound according to claim 1, wherein R^1 is alkyl.
4. A compound according to claim 1, wherein R^1 is cycloalkyl.
5. A compound according to claim 1, wherein R^1 is cycloalkylalkyl.
- 30 6. A compound according to claim 1, wherein R^2 is alkyl.

7. A compound according to claim 1, wherein R^2 is alkyl ether.

8. A compound according to claim 1, wherein R^2 is cycloalkyl.

5 9. A compound according to claim 1, wherein R^2 is aryl.

10. A compound according to claim 1, wherein R^2 is arylalkyl.

11. A compound according to claim 1, wherein R^2 is heteroaryl.

12. A compound according to claim 1, wherein R^2 is heteroarylalkyl.

13. A compound according to claim 1, wherein R^2 heterocycle.

15 14. A compound according to claim 1, wherein R^2 heterocycle-alkyl.

15. A compound according to claim 1, wherein R^2 carbocycle.

16. A compound according to claim 1, wherein R^1 is alkyl, substituted alkyl,
20 cycloalkyl or cycloalkylalkyl.

17. A compound according to claim 6, wherein R^1 is alkyl, cycloalkyl or cycloalkylalkyl.

25 18. A compound according to claim 7, wherein R^1 is alkyl, cycloalkyl or cycloalkylalkyl.

19. A compound according to claim 8, wherein R¹ is alkyl, cycloalkyl or cycloalkylalkyl.

20. A compound according to claim 9, wherein R¹ is alkyl, cycloalkyl or
5 cycloalkylalkyl.

21. A compound according to claim 10, wherein R¹ is alkyl, cycloalkyl or cycloalkylalkyl.

22. A compound according to claim 11, wherein R¹ is alkyl, cycloalkyl or
10 cycloalkylalkyl.

23. A compound according to claim 12, wherein R¹ is alkyl, cycloalkyl or
15 cycloalkylalkyl.

24. A compound according to claim 13, wherein R¹ is alkyl, cycloalkyl or
cycloalkylalkyl.

25. A compound according to claim 14, wherein R¹ is alkyl, cycloalkyl or
20 cycloalkylalkyl.

26. A compound according to claim 15, wherein R¹ is alkyl, cycloalkyl or
cycloalkylalkyl.

27. A compound according to claim 1, wherein R¹ is methyl, ethyl, isopropyl, 2-
25 hydroxyethyl, cyclopropyl, cyclopentyl, or cyclopropylmethyl.

28. A compound according to claim 1, wherein R¹ is methyl, ethyl, cyclopropyl,
cyclobutyl, cyclopentyl or cyclohexyl.

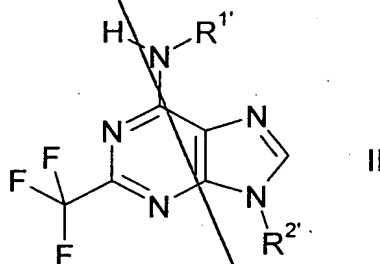
29. A compound according to claim 1, wherein R¹ is methyl, ethyl or cyclopropyl.

30. A compound according to claim 1, wherein R² is alkyl, arylalkyl, cycloalkyl,
5 aryl, heteroaryl, heteroarylalkyl, or alkyl ether.

31. A compound according to claim 1, wherein R² is ethyl, isopropyl, butyl, tert-
butyl, cyclopentyl, cyclohexyl, cycloheptyl, or arylalkyl which is unsubstituted or
substituted one or more times by F, Cl, CN, CF₃, CH₃, C₂H₅, isopropyl, OCH₃,
10 methylenedioxy, ethylenedioxy or combinations thereof.

32. A compound according to claim 1, wherein R² is substituted or unsubstituted
benzyl, phenethyl or phenpropyl.

15 33. A compound of formula II



wherein

20 R^{1'} is methyl, ethyl, or cyclopropyl; and

R^{2'} is cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

5 aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

10 heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

15 heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof (e.g., piperidinyl, imidazoliny, imidazolidinyl, pyrrolinyl, pyrrolidinyl, morpholinyl, piperazinyl, and indolinyl), or

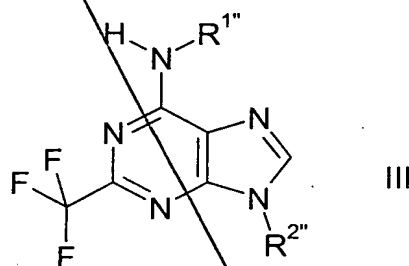
20 carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-

alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof.

34. A compound of Formula III:



wherein

15 R^{1''} is methyl, ethyl, or cyclopropyl; and

R^{2''} is phenyl,

phenyl which is substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof, or

heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, substituted heteroaryl having 5 to 10 ring atoms, in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄-alkyl, C₁₋₄-alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino or combinations thereof,

or when R¹ is methyl or cyclopropyl R² can also be cycloalkyl having 3 to 12 carbon atoms;

and

pharmaceutically acceptable salts thereof.

35. A compound according to claim 1, wherein said compound selected from:

6-Cyclopropylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
6-Ethylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-fluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2, 6-difluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2, 3-difluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-propyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3, 4-dimethoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3,4-methylenedioxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-thiophenemethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-methylphenethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cycloheptyl-2-trifluoromethylpurine
6-Methylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclohexyl-2-trifluoromethylpurine
6-Methylamino-9-cycloheptyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopentylmethyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-phenyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-fluorophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclobutyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-norboranane)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(1-indanyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-fluorophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-chlorophenyl)-2-trifluoromethylpurine

Sub B1

10057905-00500

5 6-Cyclopropylamino-9-(3-thienyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-cyclopentyloxy-4-methoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3, 4-dimethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2, 6-dichloro-4-pyridylmethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-methoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
10 6-Cyclopropylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-cyanophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2, 4-dimethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-nitrobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(6-methoxy-3-pyridyl)-2-trifluoromethylpurine
15 6-Cyclopropylamino-9-(4-pyridyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-pyridyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-dimethylaminophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-aminophenyl)-2-trifluoromethylpurine
20 6-Methylamino-9-(2, 4-dimethoxy-5-pyrimidyl)-2-trifluoromethylpurine
6-Methylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-acetylphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
25 6-Methylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-furanyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-ethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-ethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3, 4-methylenedioxyphenyl)-2-trifluoromethylpurine
30 6-Cyclopropylamino-9-(3-ethoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3,4-dimethoxyphenyl)-2-trifluoromethylpurine; and

pharmaceutically acceptable salts thereof.

35

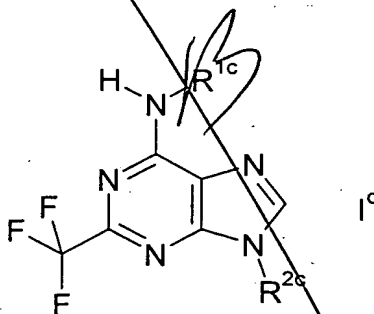
36. A compound according to claim 34, wherein said compound selected from:

40 6-Cyclopropylamino-9-(2,3-difluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3,4-dimethoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cycloheptyl-2-trifluoromethylpurine
6-Methylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclohexyl-2-trifluoromethylpurine
6-Methylamino-9-cycloheptyl-2-trifluoromethylpurine

6-Cyclopropylamino-9-phenyl-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(2-fluorophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-cyclobutyl-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(2-norboranane)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(4-fluorophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(4-chlorophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-thienyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3, 4-dimethoxyphenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(2, 6-dichloro-4-pyridylmethyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(4-methoxybenzyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-cyanophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-nitrobenzyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(4-pyridyl)-2-trifluoromethylpurine
 6-Methylamino-9-(2, 4-dimethoxy-5-pyrimidyl)-2-trifluoromethylpurine
 6-Methylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
 6-Methylamino-9-(3-acetylphenyl)-2-trifluoromethylpurine
 6-Methylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
 6-Methylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
 6-Cyclopropylamino-9-(3-ethoxyphenyl)-2-trifluoromethylpurine
 6-Methylamino-9-(3,4-dimethoxyphenyl)-2-trifluoromethylpurine; and

pharmaceutically acceptable salts thereof.

37. A method for enhancing cognition in a patient in whom such enhancement is
 desired comprising administering to said patient an effective amount of a compound
 according to formula I^c:



wherein,

R^{1c} is H,

5 alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

10 cycloalkylalkyl having 4 to 7 C atoms;

15 R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each case by -CH=CH- or -C≡C-

20 alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

25 cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

30 aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄

alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

5

arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

10

heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

15

20

heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

25

heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphanyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

38. A method according to claim 37, wherein said compound is administered in an amount of 0.01-100 mg/kg of body weight/day.

39. A method according to claim 37, wherein said patient is a human.

5

40. A method according to claim 37, wherein said compound selected from:

- Sub
161
- 10 6-Cyclopropylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine;
6-Ethylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-fluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2,6-difluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2,3-difluorobenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-propyl 2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3,4-dimethoxybenzyl)-2-trifluoromethylpurine
15 6-Cyclopropylamino-9-(3,4-methylenedioxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-thiophenemethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-methylphenethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopropylmethyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cycloheptyl-2-trifluoromethylpurine
20 6-Methylamino-9-cyclopentyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclohexyl-2-trifluoromethylpurine
6-Methylamino-9-cycloheptyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclopentylmethyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-phenyl-2-trifluoromethylpurine
25 6-Cyclopropylamino-9-(2-fluorophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-cyclobutyl-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-norboranane)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(1-indanyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-fluorophenyl)-2-trifluoromethylpurine
30 6-Cyclopropylamino-9-(4-chlorophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-tolyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-thienyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-cyclopentyloxy-4-methoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3,4-dimethoxyphenyl)-2-trifluoromethylpurine
35 6-Cyclopropylamino-9-(2,6-dichloro-4-pyridylmethyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-methoxybenzyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
40 6-Cyclopropylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-cyanophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2,4-dimethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-nitrobenzyl)-2-trifluoromethylpurine

- Sub
B1
- 6-Cyclopropylamino-9-(6-methoxy-3-pyridyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-pyridyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-pyridyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-dimethylaminophenyl)-2-trifluoromethylpurine
5 6-Cyclopropylamino-9-(3-aminophenyl)-2-trifluoromethylpurine
6-Methylamino-9-(2,4-dimethoxy-5-pyrimidyl)-2-trifluoromethylpurine
6-Methylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-acetylphenyl)-2-trifluoromethylpurine
10 6-Methylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-furanyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(4-ethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-ethoxyphenyl)-2-trifluoromethylpurine
15 6-Cyclopropylamino-9-(3,4-methylenedioxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-ethoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3,4-dimethoxyphenyl)-2-trifluoromethylpurine; and
pharmaceutically acceptable salts thereof.

20 41. A method according to claim 40, wherein said patient is a human.

42. A method according to claim 41, wherein said compound is administered in an amount of 0.01-100 mg/kg of body weight/day.

25 43. A method according to claim 37, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl.

44. A method according to claim 37, wherein:

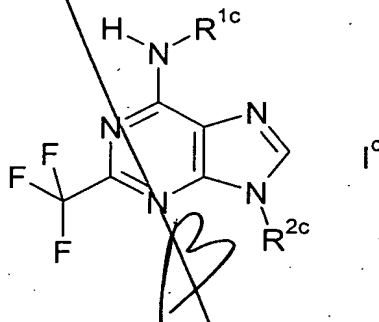
- 30 (a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydroquinolinyl)-methyl, methyl or 2-butyl;
(b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;
(c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
(d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;
35 (e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;

(f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;

(g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and

(h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

45. A method of treating a patient suffering from cognition impairment or decline comprising administering to said patient an effective amount of a compound according to formula I^c:



wherein,

R^{1c} is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or

more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each case by -CH=CH- or -C≡C-

5

alkyl ether having 3 to 12 carbon atoms,

10

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

15

cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

20

aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

25

arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

30

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphanyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

46. A method according to claim 45, wherein said patient is a human.

47. A method according to claim 46, wherein said patient is suffering from memory impairment.

48. A method according to claim 45, wherein said compound is administered in an amount of 0.01-100 mg/kg of body weight/day.

49. A method according to claim 45, wherein said patient is suffering from memory impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeld-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, multiinfarct dementia, HIV or cardiovascular disease.

50. A method according to claim 45, wherein said compound selected from:

- Sub
B1
- 10057005 000000
- 6-Cyclopropylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
 - 6-Methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
 - 6-Ethylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-fluorobenzyl)-2-trifluoromethylpurine
 - 5 6-Cyclopropylamino-9-(2, 6-difluorobenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(2, 3-difluorobenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-propyl 2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cyclopentyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3, 4-dimethoxybenzyl)-2-trifluoromethylpurine
 - 10 6-Cyclopropylamino-9-(3,4-methylenedioxybenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-thiophenemethyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(2-methylphenethyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cyclopropylmethyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cycloheptyl-2-trifluoromethylpurine
 - 15 6-Methylamino-9-cyclopentyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cyclohexyl-2-trifluoromethylpurine
 - 6-Methylamino-9-cycloheptyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cyclopentylmethyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-phenyl-2-trifluoromethylpurine
 - 20 6-Cyclopropylamino-9-(2-fluorophenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-cyclobutyl-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(2-norboranane)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(1-indanyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-fluorophenyl)-2-trifluoromethylpurine
 - 25 6-Cyclopropylamino-9-(4-chlorophenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-tolyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-thienyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-cyclopentyloxy-4-methoxybenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3, 4-dimethoxyphenyl)-2-trifluoromethylpurine
 - 30 6-Cyclopropylamino-9-(2, 6-dichloro-4-pyridylmethyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-methoxybenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
 - 35 6-Cyclopropylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-cyanophenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(2, 4-dimethoxyphenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-nitrobenzyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(6-methoxy-3-pyridyl)-2-trifluoromethylpurine
 - 40 6-Cyclopropylamino-9-(4-pyridyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-pyridyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(4-dimethylaminophenyl)-2-trifluoromethylpurine
 - 6-Cyclopropylamino-9-(3-aminophenyl)-2-trifluoromethylpurine
 - 6-Methylamino-9-(2, 4-dimethoxy-5-pyrimidyl)-2-trifluoromethylpurine
 - 45 6-Methylamino-9-(2-methoxyphenyl)-2-trifluoromethylpurine
 - 6-Methylamino-9-(4-methoxyphenyl)-2-trifluoromethylpurine

6-Methylamino-9-(3-acetylphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-methoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3-nitrophenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-furanyl)-2-trifluoromethylpurine
5 6-Cyclopropylamino-9-(4-ethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(2-ethoxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3, 4-methylenedioxyphenyl)-2-trifluoromethylpurine
6-Cyclopropylamino-9-(3-ethoxyphenyl)-2-trifluoromethylpurine
6-Methylamino-9-(3,4-dimethoxyphenyl)-2-trifluoromethylpurine; and
10 pharmaceutically acceptable salts thereof.

51

32. A method according to claim 51, wherein said patient is a human.

52

33. A method according to claim 45, wherein when R^{1c} is methyl, then R^{2c} is not
15 arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

53

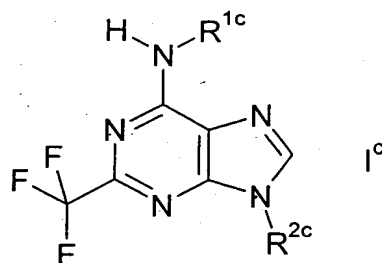
34. A method according to claim 45, wherein:

- 20 (a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;
(b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;
(c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
(d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;
(e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or
25 substituted tetrahydrofuranly;
(f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;
(g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and
(h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

30

R.1.126 54

36. A method for treating a patient having a disease involving decreased
cAMP levels comprising administering to said patient an effective amount of a compound
according to formula I^c:



5 wherein,
R^{1c} is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more
times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group
10 can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

15 R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or
more times by halogen, hydroxy, cyano or combinations thereof, wherein one or
more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -
NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each
20 case by -CH=CH- or -C≡C-

alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

5 cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

Sub
B1
10 aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

15 arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

25 heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

30

Sub
Bl

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic

acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

10

55 ~~57.~~ A method according to claim 56, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

56 ~~58.~~ A method according to claim 56, wherein:

15

(a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;

(b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;

(c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;

20

(d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;

(e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;

(f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;

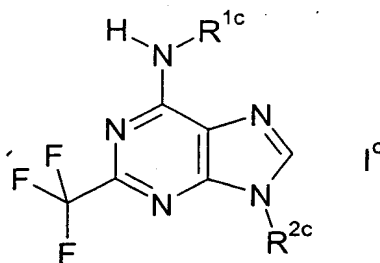
25

(g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and

(h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

57 ~~59.~~ A method of inhibiting PDE4 enzyme activity in a patient comprising

30 administering to said patient an effective amount of a compound according to formula I^c:



wherein,

5 R^{1c} is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a $-CH_2-$ group can be optionally replaced by $-O-$, $-S-$, or $-NH-$,

10

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

15 R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more $-CH_2-$ groups is each independently optionally replaced by $-O-$, $-S-$, or $-NH-$, and wherein optionally one or more $-CH_2CH_2-$ groups is replaced in each case by $-CH=CH-$ or $-C\equiv C-$

20

alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

5 cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

Sub B1
10 aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

15 arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

20
25 heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic

acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

10

59

A method according to claim 59, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

15

59

60

A method according to claim 59, wherein:

20

25

(a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;

(b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;

(c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;

(d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;

(e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;

(f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;

(g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and

(h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

30

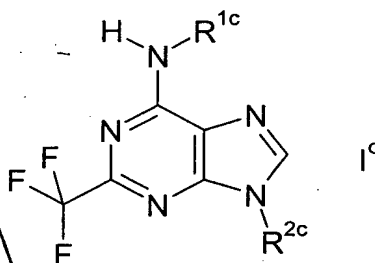
60

A pharmaceutical composition comprising a compound according to claim 1 and a pharmaceutically acceptable carrier.

Sub
B1

61 62. A composition according to claim 62, wherein said composition contains 0.1-50 mg of said compound.

62 63. A method of treating a patient suffering from memory impairment due to a neurodegenerative disease comprising administering to said patient an effective amount of a compound according to formula I^c:



wherein,

R^{1c} is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -

NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each case by -CH=CH- or -C≡C-

5 alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

10

cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

15

aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

20

arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

25

heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom,

30

which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

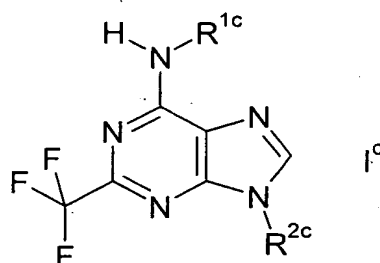
with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

63 65. A method according to claim 64, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

64 66. A method according to claim 64, wherein:

- 20 (a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;
- (b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;
- (c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
- 25 (d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;
- (e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;
- (f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;
- 30 (g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and
- (h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

65 67. A method of treating a patient suffering from memory impairment due to an acute neurodegenerative disorder comprising administering to said patient an effective amount
5 of a compound according to formula I^c:



10 wherein,
R^{1c} is H,

15 alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

20 R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each
25 case by -CH=CH- or -C≡C-

cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

5 heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, 10 carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof

15 heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

20 heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or 25 more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

30 carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by

halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄-alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphanyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

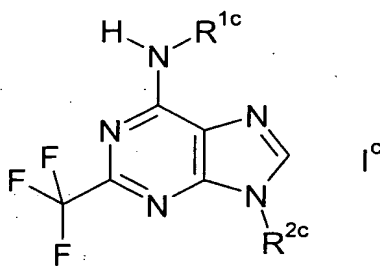
with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

66 68. A method according to claim 67, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

67 69. A method according to claim 67, wherein:

- (a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;
- (b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;
- (c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
- (d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;
- (e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranlyl;
- (f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;
- (g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and
- (h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

68
70. A method of treating a patient suffering from an allergic or inflammatory disease comprising administering to said patient an effective amount of a compound according to formula I^c:



wherein,

R^{1c} is H,

10 alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

15 cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms;

20 R^{2c} is alkyl having 1 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, cyano or combinations thereof, wherein one or more -CH₂- groups is each independently optionally replaced by -O-, -S-, or -NH-, and wherein optionally one or more -CH₂CH₂- groups is replaced in each case by -CH=CH- or -C≡C-

25

alkyl ether having 3 to 12 carbon atoms,

cycloalkyl having 3 to 12 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano or combinations thereof,

cycloalkylalkyl having 4 to 12 C atoms, which is unsubstituted or substituted one or more times by C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, halogen, or combinations thereof,

aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

arylalkyl having 7 to 16 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino,

carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

5 heteroarylalkyl wherein the heteroaryl portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heteroaryl portion is unsubstituted or is substituted one or more times in by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

15 heterocycle having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof,

20 heterocycle-alkyl wherein the heterocycle portion has 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom and the alkyl portion has 1 to 3 carbon atoms, the heterocycle portion is nonaromatic and is unsubstituted or is substituted one or more times in the by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, or combinations thereof, or

30 carbocycle which is nonaromatic, monocyclic or bicyclic, group having 5 to 14 carbon atoms, which is unsubstituted or is substituted one or more times in the by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄

alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof;

and

pharmaceutically acceptable salts thereof,

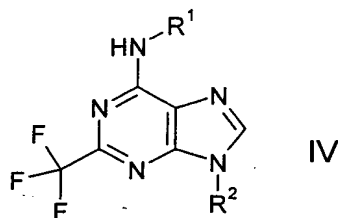
with the proviso that said compound is not 6-methylamino-9-(2-fluorobenzyl)-2-trifluoromethylpurine.

71. A method according to claim 70, wherein when R^{1c} is methyl, then R^{2c} is not arylalkyl, methyl or 2-butyl, and when R^{1c} is H, then R^{2c} is not benzyl

70 72. A method according to claim 70, wherein:

- (a) when R^{1c} is methyl, then R^{2c} is not arylalkyl, heteroarylalkyl, 2-(1,2,3,4-tetrahydro)quinolinyl-methyl, methyl or 2-butyl;
- (b) when R^{1c} is cyclopropyl, R^{2c} is not 4-methylbenzyl;
- (c) when R^{1c} is ethyl, then R^{2c} is not ethyl, 3-aminobenzyl, 2-thienylmethyl, 3-thienylmethyl, or 2-pyridylmethyl;
- (d) when R^{1c} is cyclopropyl, then R^{2c} is not cyclopropylmethyl;
- (e) when R^{1c} is H, then R^{2c} is not methyl, ethyl, benzyl, 4-methylbenzyl, or substituted tetrahydrofuranyl;
- (f) when R^{1c} is methoxyethyl, then R^{2c} is not benzyl, 3-dimethylaminobenzyl, or 3-thienylmethyl;
- (g) when R^{1c} is iso-butyl, then R^{2c} is not benzyl; and
- (h) when R^{1c} is n-butyl, then R^{2c} is not n-butyl.

73. A process for preparing compounds of the formula IV



wherein

R¹ is H,

alkyl having 1 to 5 carbon atoms, which is unsubstituted or substituted one or more times by halogen, hydroxy, or combinations thereof, and wherein a -CH₂- group can be optionally replaced by -O-, -S-, or -NH-,

cycloalkyl having 3 to 6 carbon atoms, or

cycloalkylalkyl having 4 to 7 C atoms; and

R² is aryl having 6 to 14 carbon atoms, which is unsubstituted or substituted one or more times by halogen, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, nitro, methylenedioxy, ethylenedioxy, amino, C₁₋₄ alkylamino, di-C₁₋₄-alkylamino, C₁₋₄-hydroxyalkyl, C₁₋₄-hydroxyalkoxy, carboxy, cyano, hydroxamic acid, carboxamide, C₂₋₄-acyl, C₂₋₄-alkoxycarbonyl, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, phenoxy, or combinations thereof,

heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom, which is unsubstituted or substituted one or more times by halogen, aryl, C₁₋₄ alkyl, halogenated C₁₋₄ alkyl, hydroxy, C₁₋₄-alkoxy, halogenated C₁₋₄ alkoxy, cyano, trifluoromethyl, nitro, oxo, amino, C₁₋₄-alkylamino, di-C₁₋₄-alkylamino, carboxy, alkoxycarbonyl, hydroxamic acid, carboxamide, C₁₋₄-alkylthio, C₁₋₄-alkylsulphinyl, C₁₋₄-alkylsulphonyl, or combinations thereof,

said process comprising:

Sub
B1
5 reacting 6- N - R^1 -substituted adenine with an arylboronic acid or heteroarylboronic acid in the presence of trialkylamine wherein the alkyl have 1 to 5 C atoms, e.g., triethylamine, as a base, a copper catalyst, and a polar aprotic solvent, for example THF and CH_3CN (particularly, CH_3CN) at a temperature of at least $50^\circ C$, e.g., $50-60^\circ C$.

72 74. A compound according to claim 1, wherein R^2 is cycloalkylalkyl.

10 73 75. compound according to claim 74 wherein R^1 is alkyl, cycloalkyl or cycloalkylalkyl.

15

20